

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
31 March 2005 (31.03.2005)

PCT

(10) International Publication Number
WO 2005/029035 A2

(51) International Patent Classification⁷: G01N
(21) International Application Number: PCT/US2004/030261
(22) International Filing Date: 16 September 2004 (16.09.2004)
(25) Filing Language: English
(26) Publication Language: English
(30) Priority Data: 60/503,447 16 September 2003 (16.09.2003) US
(71) Applicant (for all designated States except US): NORAK BIOSCIENCES, INC. [US/US]; 7030 Kit Creek Road, Morrisville, NC 27560 (US).

AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

(72) Inventor; and
(75) Inventor/Applicant (for US only): COWAN, Conrad, L. [US/US]; 125 Starwood Lane, Holly Springs, NC 27540 (US).

Declaration under Rule 4.17:
— of inventorship (Rule 4.17(iv)) for US only

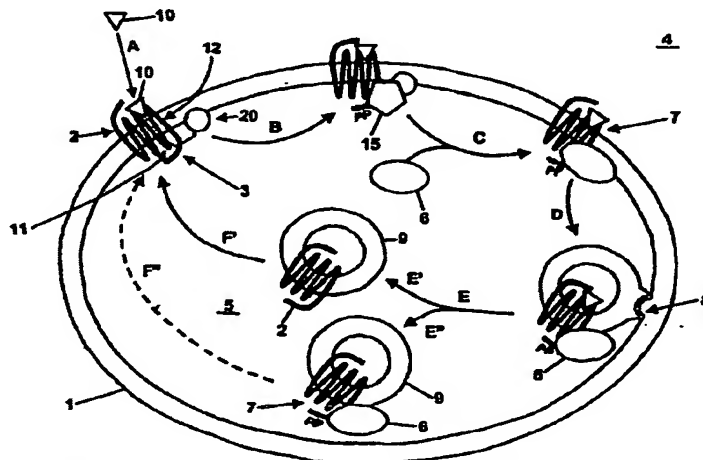
(74) Agent: ELLIOTT, Joshua, T.; Hutchison & Mason PLLC, P.O. Box 31686, Raleigh, NC 27612 (US).

Published:
— without international search report and to be republished upon receipt of that report

(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM,

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: METHODS OF SCREENING COMPOSITIONS FOR G PROTEIN-COUPLED RECEPTOR AGONIST ACTIVITY



(57) Abstract: Methods of screening compositions for G protein-coupled receptor ("GPCR") agonist activity against two or more GPCRs in a multiplex receptor assay format are disclosed. One or more cells expressing at least two different GPCRs are exposed to a test composition and it is determined whether or not the composition gives an indication of GPCR agonist activity with respect to any of the at least two different GPCRs. Each of the one or more cells also includes one or more conjugates comprising a marker molecule and a protein associated with the GPCR desensitization pathway of one or more of the GPCRs; that are being used to screen the composition for GPCR agonist activity. The conjugate or conjugates are used to indicate, through the use of the marker molecule, GPCR agonist activity of the test composition with respect to each of the GPCRs that are being used to screen the test composition.